

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
8 July 2004 (08.07.2004)

PCT

(10) International Publication Number  
**WO 2004/056400 A1**

(51) International Patent Classification<sup>7</sup>: **A61K 51/04,**  
C07B 59/00

(GB). **ROBINS, Edward, George** [GB/GB]; Hammer-  
smith Imanet Limited, Cyclotron Building, Hammersmith  
Hospital, Du Cane Road, London W12 0NN (GB).

(21) International Application Number:  
PCT/GB2003/005577

(74) Agents: **HAMMETT, Audrey, Grace, Campbell et al.;**  
Amersham Plc, Amersham Place, Little Chalfont, Buck-  
inghamshire HP7 9NA (GB).

(22) International Filing Date:  
19 December 2003 (19.12.2003)

(25) Filing Language: English

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR,  
CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,  
KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,  
MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,  
SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,  
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(26) Publication Language: English

(30) Priority Data:  
0229683.8 20 December 2002 (20.12.2002) GB

(71) Applicant (*for all designated States except US*): **HAM-  
MERSMITH IMANET LIMITED** [GB/GB]; Cyclotron  
Building, Hammersmith Hospital, Du Cane Road, London  
W12 0NN (GB).

(84) Designated States (*regional*): ARIPO patent (BW, GH,  
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,  
SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,  
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

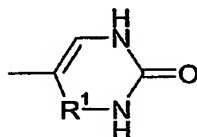
(75) Inventors/Applicants (*for US only*): **BRADY, Frank**  
[GB/GB]; Hammersmith Imanet Limited, Cyclotron  
Building, Hammersmith Hospital, Du Cane Road, London  
W12 0NN (GB). **LUTHRA, Sajinder, Kaur** [GB/GB];  
Hammersmith Imanet Limited, Cyclotron Building, Ham-  
mersmith Hospital, Du Cane Road, London W12 0NN

Published:

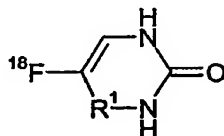
— with international search report

[Continued on next page]

(54) Title: SOLID-PHASE FLUORINATION OF URACIL AND CYTOSINE



(A)



(II)

(57) Abstract: The invention relates to a process for the production of an <sup>18</sup>F-labelled tracer which comprises treatment of a solid support-bound precursor of formula (I): SOLID SUPPORT-LINKER-I<sup>+</sup>-TRACER (I) Y<sup>-</sup> wherein the TRACER is of formula (A): or an amine protected derivative thereof, wherein Y<sup>-</sup> is an anion, preferably trifluoromethylsulphonate (triflate) anion; and R<sup>1</sup> is either (i) a group CH-NP<sup>1A</sup>P<sup>2A</sup> in which P<sup>1A</sup> and P<sup>2A</sup> are each independently hydrogen or a protecting group, or (ii) a carbonyl group; with <sup>18</sup>F<sup>-</sup> to produce the labelled tracer of formula (II) or an amine protected derivative thereof, wherein R<sup>1</sup> is as defined for the compound of formula (I).

**WO 2004/056400 A1**



---

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*